

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

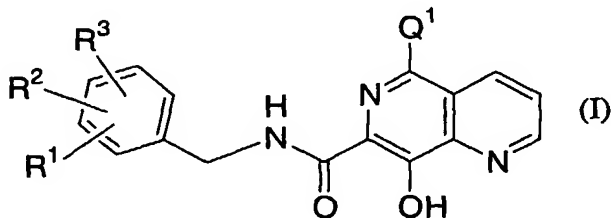
(19) World Intellectual Property  
Organization  
International Bureau(43) International Publication Date  
23 October 2003 (23.10.2003)

PCT

(10) International Publication Number  
WO 2003/086319 A3

- (51) International Patent Classification<sup>7</sup>: A61P 31/12, A61K 31/54, C07D 279/02
- (21) International Application Number: PCT/US2003/007517
- (22) International Filing Date: 13 March 2003 (13.03.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 60/371,296 10 April 2002 (10.04.2002) US
- (71) Applicant (for all designated States except US): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): ROBERTSON, Sandra [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). CRUANES, Maria, T. [AR/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). KARABORNI, Sami [TN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). OSTOVIC, Drazen [HR/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). FU, Xi-Yong [CN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). KAMALI, Ashkan [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). PANMAI, Santipharp [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). PLANK, Russell, V. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (74) Common Representative: MERCK & CO., INC.; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:**
- with international search report
  - before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 5 August 2004
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PHARMACEUTICAL COMPOSITIONS CONTAINING AN HIV INTEGRASE INHIBITOR AND A NONIONIC SURFACTANT



(57) Abstract: Pharmaceutical compositions comprise a therapeutically effective amount of an 8-hydroxy-1,6-naphthyridine-7-carboxamide of Formula (I), or a pharmaceutically acceptable salt thereof: (I); and a nonionic surfactant; wherein R1, R2, R3 and Q1 are defined herein. Compounds of Formula (I) are HIV integrase inhibitors, and the pharmaceutical compositions are useful for preventing or treating HIV infection or for preventing, treating, or delaying the onset of AIDS. The pharmaceutical compositions are typically administered orally,

for example, in the form of capsules or tablets, and can provide good oral bioavailability. Methods for preparing encapsulated and tableted forms of the pharmaceutical compositions are described.

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/US03/07517

**A. CLASSIFICATION OF SUBJECT MATTER**

IPC(7) : A61P 31/12; A61K 31/54; C07D 279/02

US CL : 514/222.2; 544/3

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/222.2; 544/3

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
CAS ONLINE**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,P	WO 02/30931 A2 (MERCK & CO., INC.) 18 April 2002, see entire document.	1-4, 29 and 30

☐ Further documents are listed in the continuation of Box C.☐ See patent family annex.

\* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent published on or after the international filing date

"I" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T"

later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X"

document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y"

document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&amp;"

document member of the same patent family

Date of the actual completion of the international search

12 December 2003 (12.12.2003)

Date of mailing of the international search report

02 JUL 2004

Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US

Commissioner for Patents

P.O. Box 1450

Alexandria, Virginia 22313-1450

Facsimile No. (703)305-3230

Authorized officer

Brenda L. Coleman

Telephone No. 703-308-1235

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US03/07517

## Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claim Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claim Nos.:  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☒ Claim Nos.: 5-28  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐  
☐

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.